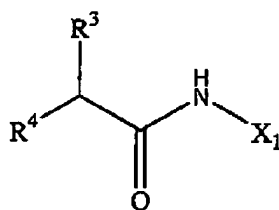


Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1. (Currently Amended) A compound of Formula I:



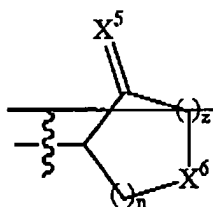
I

in which:

X^1 is $-C(R^1)(R^2)X^2$ or $-X^2$;

X^2 is cyano, $-CHO$, $-C(R^7)(R^8)R^5$, $-C(R^7)(R^8)CF_3$, $-C(R^7)(R^8)CF_2CF_2R^9$, $-CH=CHS(O)_2R^5$, $-C(R^7)(R^8)CF_2C(O)NR^5R^6$, $-C(R^7)(R^8)C(R^7)(R^8)NR^5R^6$, $-C(R^7)(R^8)C(R^7)(R^8)OR^5$, $-C(R^7)(R^8)CH_2OR^5$, $-C(R^7)(R^8)CH_2N(R^6)SO_2R^5$, $-C(R^7)(R^8)C(R^7)(R^8)N(R^6)(CH_2)_2OR^6$, $-C(R^7)(R^8)C(R^7)(R^8)N(R^6)(CH_2)_2NR^6$ or $-C(R^7)(R^8)C(R^7)(R^8)R^5$; wherein R^5 is (C_{1-4}) alkyl, (C_{6-10}) aryl (C_{0-6}) alkyl, hetero (C_{4-10}) aryl (C_{0-6}) alkyl, (C_{4-10}) cycloalkyl (C_{0-6}) alkyl or hetero (C_{4-10}) cycloalkyl (C_{0-6}) alkyl wherein hetero (C_{4-10}) aryl or hetero (C_{4-10}) cycloalkyl is pyran, thiopyran, pyrimidine, thiazole, isothiazole, pyridine, furan, imidazole, isoxazole, oxadiazole, oxazole or triazole; R^6 is hydrogen or (C_{1-6}) alkyl; R^7 is hydrogen or (C_{1-4}) alkyl and R^8 is hydroxy or R^7 and R^8 together form oxo; R^9 is hydrogen, halo, (C_{1-4}) alkyl, or (C_{5-10}) aryl (C_{0-6}) alkyl or hetero (C_{5-10}) aryl (C_{0-6}) alkyl;

X^2 represents a group of Formula (a):



(a)

in which n is 1 or 2, z is 0 or 1, X^5 is selected from NR^{10} , S or O, wherein R^{10} is hydrogen or (C_{1-6}) alkyl, and X^6 is O, S or NR^{11} , wherein R^{11} is selected from hydrogen, (C_{1-6}) alkyl, $-X^4C(O)OR^{13}$, $-X^4C(O)R^{13}$, $-X^4C(O)NR^{12}R^{13}$, $-X^4S(O)_2NR^{12}R^{13}$, $-X^4S(O)_2R^{14}$, R^{15} , $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4C(O)NR^{12}R^{15}$ and $-X^4S(O)_2NR^{12}R^{15}$, in which X^4 is a bond or (C_{1-6}) alkylene; R^{12} at each occurrence independently is hydrogen or (C_{1-6}) alkyl; R^{13} is hydrogen, (C_{1-6}) alkyl or halo-substituted (C_{1-6}) alkyl, R^{14} is (C_{1-6}) alkyl or halo-substituted (C_{1-6}) alkyl and R^{15} is (C_{3-10}) cycloalkyl, (C_{0-6}) alkyl, hetero (C_{3-10}) cycloalkyl, (C_{0-3}) alkyl, (C_{6-10}) aryl, (C_{0-6}) alkyl, hetero (C_{3-10}) aryl, (C_{0-6}) alkyl, or (C_{9-12}) bicycloaryl, (C_{0-6}) alkyl or hetero (C_{3-12}) bicycloaryl, (C_{0-6}) alkyl;

wherein within X^1 any cycloalkyl, heterocycloalkyl, aryl or heteroaryl may be is substituted or unsubstituted with 1 radical R^{20} selected from R^{15} , $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4OC(O)R^{15}$, $-X^4NR^{12}R^{13}$, $-X^4NR^{12}C(O)R^{15}$, $-X^4NR^{12}C(O)OR^{15}$, $-X^4C(O)NR^{12}R^{13}$, $-X^4S(O)_2NR^{12}R^{13}$, $-X^4NR^{12}S(O)_2R^{15}$, $-X^4NR^{12}C(O)NR^{15}R^{12}$ and $-X^4NR^{12}C(NR^{12})NR^{15}R^{12}$; and wherein X^1 and R^{20} may be substituted further with 1 to 5 radicals independently selected from (C_{1-6}) alkyl, cyano, halo, halo-substituted (C_{1-6}) alkyl, nitro, $-X^4NR^{12}R^{13}$, $-X^4NR^{12}C(O)R^{13}$, $-X^4NR^{12}C(O)OR^{13}$, $-X^4NR^{12}C(O)NR^{12}R^{13}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{13}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{13}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{13}$, $-X^4S(O)_2NR^{12}R^{13}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$ and $-X^4S(O)_2R^{14}$ wherein X^4 , R^{12} , R^{13} , R^{14} and R^{15} are as defined above;

R^1 and R^2 are both fluoro; or

R^1 is hydrogen or (C_{1-6}) alkyl and R^2 is selected from the group consisting of hydrogen, (C_{1-6}) alkyl, cyano, $-X^4NR^{12}R^{13}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{13}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{13}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{13}$, $-X^4S(O)_2NR^{12}R^{13}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$, $-X^4S(O)_2R^{14}$, R^{15} , $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4OC(O)R^{15}$, $-X^4NR^{15}R^{12}$,

~~-X⁴NR¹²C(O)R¹⁵, -X⁴NR¹²C(O)OR¹⁵, -X⁴C(O)NR¹⁵R¹², -X⁴S(O)₂NR¹⁵R¹², -X⁴NR¹²S(O)₂R¹⁵, -X⁴NR¹²C(O)NR¹⁵R¹² and -X⁴NR¹²C(NR¹²)NR¹⁵R¹², wherein X⁴ is a bond or (C₁₋₆)alkylene, R¹², R¹³, R¹⁴ and R¹⁵ are as defined above~~ R¹² at each occurrence independently is hydrogen or (C₁₋₆)alkyl, R¹³ is hydrogen, (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl, R¹⁴ is (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl, and R¹⁵ is (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₂)bicycloaryl(C₀₋₆)alkyl or morpholinyl;

or R¹ and R² taken together with the carbon atom to which both R¹ and R² are attached form (C₃₋₈)cycloalkylene ~~or hetero(C₃₋₈)cycloalkylene~~; wherein R², and said cycloalkylene and said heterocycloalkylene may be substituted further with 1 to 3 radicals independently selected from (C₁₋₆)alkyl, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁴NR¹²R¹², -X⁴NR¹²C(O)R¹², -X⁴NR¹²C(O)OR¹², -X⁴NR¹²C(O)NR¹²R¹², -X⁴NR¹²C(NR¹²)NR¹²R¹², -X⁴OR¹³, -X⁴SR¹³, -X⁴C(O)OR¹², -X⁴C(O)R¹³, -X⁴OC(O)R¹³, -X⁴C(O)NR¹²R¹², -X⁴S(O)₂NR¹²R¹², -X⁴NR¹²S(O)₂R¹³, -X⁴P(O)(OR¹²)OR¹², -X⁴OP(O)(OR¹²)OR¹², -X⁴S(O)R¹⁴ and -X⁴S(O)₂R¹⁴, wherein X⁴, R¹², R¹³ and R¹⁴ are as defined above;

R³ and R⁴ are independently -C(R¹⁶)(R¹⁷)X⁷, wherein R¹⁶ and R¹⁷ are hydrogen, (C₁₋₆)alkyl or fluoro, or R¹⁶ is hydrogen and R¹⁷ is hydroxy and X⁷ is selected from -X⁴NR¹²R¹², -X⁴NR¹²C(O)R¹², -X⁴NR¹²C(O)OR¹², -X⁴NR¹²C(O)NR¹²R¹², -X⁴NR¹²C(NR¹²)NR¹²R¹², -X⁴OR¹³, -X⁴SR¹³, -X⁴C(O)OR¹², -X⁴C(O)R¹³, -X⁴OC(O)R¹³, -X⁴C(O)NR¹²R¹², -X⁴S(O)₂NR¹²R¹², -X⁴NR¹²S(O)₂R¹³, -X⁴P(O)(OR¹²)OR¹², -X⁴OP(O)(OR¹²)OR¹², -X⁴S(O)R¹⁴, -X⁴S(O)₂R¹⁴, -R¹⁵, -X⁴OR¹⁵, -X⁴SR¹⁵, -X⁴S(O)R¹⁵, -X⁴S(O)₂R¹⁵, -X⁴C(O)R¹⁵, -X⁴C(O)OR¹⁵, -X⁴OC(O)R¹⁵, -X⁴NR¹⁵R¹², -X⁴NR¹²C(O)R¹⁵, -X⁴NR¹²C(O)OR¹⁵, -X⁴C(O)NR¹⁵R¹², -X⁴S(O)₂NR¹⁵R¹², -X⁴NR¹²S(O)₂R¹⁵, -X⁴NR¹²C(O)NR¹⁵R¹² and -X⁴NR¹²C(NR¹²)NR¹⁵R¹², wherein X⁴, R¹², R¹³, R¹⁴ and R¹⁵ are as defined above;

wherein within one of R³ or R⁴ any cycloalkyl, heterocycloalkyl, aryl or heteroaryl ~~may be is substituted or unsubstituted with 1 radical R²¹ selected from -R¹⁵, -X⁴OR¹⁵, -X⁴SR¹⁵, -X⁴S(O)R¹⁵, -X⁴S(O)₂R¹⁵, -X⁴C(O)R¹⁵, -X⁴C(O)OR¹⁵, -X⁴OC(O)R¹⁵, -X⁴NR¹⁵R¹², -X⁴NR¹²C(O)R¹⁵, -X⁴NR¹²C(O)OR¹⁵, -X⁴C(O)NR¹⁵R¹², -X⁴S(O)₂NR¹⁵R¹², -X⁴NR¹²S(O)₂R¹⁵, -X⁴NR¹²C(O)NR¹⁵R¹² and -X⁴NR¹²C(NR¹²)NR¹⁵R¹², wherein X⁴, R¹² and R¹⁵ are as defined above; and wherein each of R³, and R⁴ and R²¹ may be is substituted further or is not further substituted with 1 to 5 radicals independently selected from (C₁₋₆)alkyl, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁴NR¹²R¹², -X⁴NR¹²C(O)R¹², -X⁴NR¹²C(O)OR¹², -X⁴NR¹²C(O)NR¹²R¹², -X⁴NR¹²C(NR¹²)NR¹²R¹², -X⁴OR¹³, -X⁴SR¹³, -X⁴C(O)OR¹²,~~

~~$-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{13}$, $-X^4S(O)_2NR^{12}R^{13}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{13})OR^{13}$, $-X^4OP(O)(OR^{13})OR^{13}$, $-X^4S(O)R^{14}$ and $-X^4S(O)_2R^{14}$, wherein X^4 , R^{13} , R^{13} and R^{14} are as defined above; provided that only one bicyclic ring structure is present within each of R^3 or R^4 ; and provided that when X^2 is cyano and X^7 within one of R^3 or R^4 is $-X^4C(O)R^{13}$ or $-X^4C(O)R^{15}$, wherein X^4 is a bond, then X^7 within the other of R^3 or R^4 is limited to $-X^4SR^{15}$, $-X^4S(O)R^{15}$ and $-X^4S(O)_2R^{15}$, wherein R^{15} is a substituted (C_{6-10}) aryl (C_{1-6}) alkyl substituted with 1 to 5 radicals or hetero (C_{3-10}) aryl (C_{0-6}) alkyl optionally substituted with 1 to 5 radicals, wherein said radicals are independently selected from (C_{1-6}) alkyl, cyano, halo, halo-substituted (C_{1-4}) alkyl, nitro, $-X^4NR^{12}R^{13}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{13}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{13}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{13}$, $-X^4S(O)_2NR^{12}R^{13}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{13})OR^{13}$, $-X^4OP(O)(OR^{13})OR^{13}$, $-X^4S(O)R^{14}$ and $-X^4S(O)_2R^{14}$, wherein X^4 , R^{13} , R^{13} and R^{14} are as defined above; provided that the radical is not selected from only halo when R^{15} is (C_{6-10}) aryl (C_{1-6}) alkyl; and provided that when X^2 is cyano then X^7 within R^3 and R^4 is not $-X^4C(O)NR^{12}R^{13}$, $-X^4C(O)NR^{15}R^{13}$ or $-X^4C(O)NR^{18}R^{19}$, wherein X^4 is a bond and R^{18} and R^{19} together with the nitrogen atom to which they are attached form hetero (C_{3-10}) cycloalkyl or hetero (C_{5-10}) aryl;~~

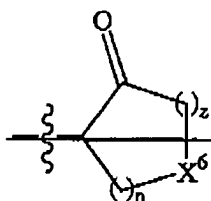
and or the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and or the pharmaceutically acceptable salts and solvates of such compounds and or the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

2. (Currently Amended) The compound of Claim claim 1 in which:

X^1 is $-C(R^1)(R^2)X^2$ or $-X^3$;

X^2 is cyano, $-CHO$, $-C(O)R^5$, $-C(O)CF_3$, $-C(O)CF_2CF_2R^9$, $-CH=CHS(O)_2R^5$, $-C(O)CF_2C(O)NR^5R^6$, $-C(O)C(O)NR^5R^6$, $-C(O)C(O)OR^5$, $-C(O)CH_2OR^5$, $-C(O)CH_2N(R^6)SO_2R^5$, $-C(O)C(O)N(R^6)(CH_2)_2OR^6$, $-C(O)C(O)N(R^6)(CH_2)_2NR^6$ or $-C(O)C(O)R^5$, wherein R^5 is (C_{1-4}) alkyl, (C_{6-10}) aryl (C_{0-6}) alkyl, hetero (C_{4-10}) aryl (C_{0-6}) alkyl, or (C_{4-10}) cycloalkyl (C_{0-6}) alkyl or hetero (C_{4-10}) cycloalkyl (C_{0-6}) alkyl, R^6 is hydrogen or (C_{1-6}) alkyl and R^9 is halo;

X^3 represents a group of Formula (b):



(b)

in which n is 1 or 2, z is 0 or 1, X^6 is O or NR^{14} , wherein R^{14} is selected from hydrogen, (C_{1-6}) alkyl, $-X^4OC(O)R^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4S(O)_2R^{14}$, $-R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4C(O)NR^{12}R^{15}$ and $-X^4S(O)_2NR^{12}R^{15}$, in which X^4 is a bond or (C_{1-6}) alkylene; R^{12} at each occurrence independently is hydrogen or (C_{1-6}) alkyl; R^{13} is hydrogen, (C_{1-6}) alkyl or halo-substituted (C_{1-6}) alkyl; R^{14} is (C_{1-6}) alkyl or halo-substituted (C_{1-6}) alkyl and R^{15} is (C_{2-10}) cycloalkyl (C_{0-6}) alkyl, hetero (C_{2-10}) cycloalkyl (C_{0-6}) alkyl, (C_{6-10}) aryl (C_{0-6}) alkyl, hetero (C_{6-10}) aryl (C_{0-6}) alkyl, (C_{2-12}) bicycloaryl (C_{0-6}) alkyl or hetero (C_{2-12}) bicycloaryl (C_{0-6}) alkyl;

wherein within X^1 any cycloalkyl, heterocycloalkyl, or aryl or heteroaryl may be is unsubstituted or substituted with 1 radical selected from $-R^{15}$ and $-X^4C(O)R^{15}$; and wherein X^1 may be is unsubstituted or substituted further with 1 to 3 radicals independently selected from (C_{1-6}) alkyl, halo-substituted (C_{1-4}) alkyl, $-X^4NR^{12}R^{12}$, $-X^4OR^{13}$ and $-X^4S(O)_2R^{14}$, wherein X^4 , R^{12} , R^{13} , R^{14} and R^{15} are as defined above;

R^1 and R^2 are both fluoro; or

R^1 is hydrogen or (C_{1-6}) alkyl and R^2 is selected from the group consisting of hydrogen, (C_{1-6}) alkyl, $-X^4OR^{13}$ and $-R^{15}$; or R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (C_{3-8}) cycloalkylene or hetero (C_{3-8}) cycloalkylene; wherein R^2 may be substituted further with (C_{1-6}) alkyl; wherein X^4 , R^{13} and R^{15} are as defined above;

R^3 and R^4 are independently $-C(R^{16})(R^{17})X^7$, wherein R^{16} and R^{17} are hydrogen, (C_{1-6}) alkyl or fluoro, or R^{16} is hydrogen and R^{17} is hydroxy and X^7 is selected from $-X^4SR^{13}$, $-X^4C(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-R^{15}$, $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$ and $-X^4C(O)NR^{15}R^{12}$, wherein X^4 , R^{12} , R^{13} and R^{15} are as defined above;

wherein within one of R^3 or R^4 any cycloalkyl, heterocycloalkyl, aryl or heteroaryl may be substituted with 1 radical selected from $-R^{15}$, $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)R^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$, $-X^4C(O)OR^{15}$, $-X^4OC(O)R^{15}$, $-X^4NR^{15}R^{12}$, $-X^4NR^{12}C(O)R^{15}$,

$-X^4NR^{12}C(O)OR^{15}$, $-X^4C(O)NR^{12}R^{15}$, $-X^4S(O)_2NR^{15}R^{12}$, $-X^4NR^{12}S(O)_2R^{15}$, $-X^4NR^{12}C(O)NR^{15}R^{12}$ and $-X^4NR^{12}C(NR^{12})NR^{15}R^{12}$, wherein X^4 , R^{12} and R^{15} are as defined above; and wherein each of R^3 and R^4 may be substituted further with 1 to 5 radicals independently selected from (C₁₋₆)alkyl, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, $-X^4NR^{12}R^{12}$, $-X^4NR^{12}C(O)R^{12}$, $-X^4NR^{12}C(O)OR^{12}$, $-X^4NR^{12}C(O)NR^{12}R^{12}$, $-X^4NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^4OR^{13}$, $-X^4SR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4OC(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4S(O)_2NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$, $-X^4P(O)(OR^{12})OR^{12}$, $-X^4OP(O)(OR^{12})OR^{12}$, $-X^4S(O)R^{14}$ and $-X^4S(O)_2R^{14}$, wherein X^4 , R^{12} , R^{13} and R^{14} are as defined above;

wherein within one of R^3 and R^4 any cycloalkyl, ~~heterocycloalkyl~~, or aryl or ~~heteroaryl~~ ~~may be~~ is unsubstituted or substituted with 1 radical selected from $-R^{15}$ and $-X^4OR^{15}$; and wherein each of R^3 or R^4 ~~may be~~ is unsubstituted or substituted further by 1-5 radicals independently selected from (C₁₋₆)alkyl, cyano, halo, halo-substituted(C₁₋₄)alkyl, $-X^4NR^{12}C(O)OR^{12}$, $-X^4OR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$ and $-X^4S(O)_2R^{14}$, wherein X^4 , R^{12} , R^{13} , R^{14} and R^{15} are as defined above;

and or the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and or the pharmaceutically acceptable salts and solvates of such compounds and ~~and~~ or the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

3. (Currently Amended) A compound of claim 2 in which R^3 and R^4 are independently $-CH_2X^7$, wherein X^7 is selected from X^4SR^{13} , $-X^4C(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-R^{15}$, $-X^4OR^{15}$, $-X^4SR^{15}$, $-X^4S(O)_2R^{15}$, $-X^4C(O)R^{15}$ and $-X^4C(O)NR^{15}R^{12}$, wherein X^4 is a bond or (C₁₋₆)alkylene, R^{12} at each occurrence independently is hydrogen or (C₁₋₆)alkyl, R^{13} is hydrogen, (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl, R^{14} is (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl and R^{15} is (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, ~~hetero(C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl~~ morpholinyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, ~~hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl~~, or (C₉₋₁₂)bicycloaryl(C₀₋₆)alkyl or ~~hetero(C₈₋₁₂)bicycloaryl(C₀₋₆)alkyl~~; wherein within R^3 and R^4 any cycloalkyl, ~~heterocycloalkyl~~, aryl or ~~heteroaryl~~ may be substituted with 1 radical selected from $-R^{15}$ and $-X^4OR^{15}$, wherein X^4 and R^{15} are as defined above; and wherein R^3 and R^4 may be substituted further by 1 to 5 radicals independently selected from (C₁₋₆)alkyl, cyano, halo, halo-substituted(C₁₋₄)alkyl, $-X^4NR^{12}C(O)OR^{12}$, $-X^4OR^{13}$, $-X^4C(O)OR^{12}$, $-X^4C(O)R^{13}$, $-X^4C(O)NR^{12}R^{12}$, $-X^4NR^{12}S(O)_2R^{13}$ and $-X^4S(O)_2R^{14}$, wherein X^4 , R^{12} , R^{13} and R^{14} are as defined above;

~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

4. (Currently Amended) A compound of claim 3 in which R³ is selected from 5-bromothiophen-2-ylmethyl, 3-cyclohexylpropyl, 2-cyclohexylpropyl, 2-cyclopentylpropyl, 3-phenylpropyl, 3-(2-difluoromethoxy)phenylpropyl, 2-phenylcyclopropylmethyl, 2,2-difluoro-3-phenylpropyl, 1-benzylcyclopropylmethyl, 2-tetrahydro-pyran-4-ylethyl, 1-isobutylcyclopropylmethyl, thiophen-2-ylmethyl, tetrahydro-pyran-4-ylmethyl, cyclopropylmethylsulfonylmethyl, 2,2-dimethyl-3-phenylpropyl, 4-methyl-[1,2,5]thiadiazol-3-ylmethylsulfonylmethyl, 3-methyl-[1,2,4]thiadiazol-3-ylmethylsulfonylmethyl, thiophen-3-ylmethylsulfonylmethyl, 3-methoxy-5-methyl-isoxazol-4-ylmethylsulfonylmethyl, 2,4-dimethyl-thiazol-5-ylmethylsulfonylmethyl, 2-methyl-oxazol-4-ylmethylsulfonylmethyl, 2-methyl-thiazol-4-ylmethylsulfonylmethyl, 1,2,3]thiadiazol-4-ylmethylsulfonylmethyl, 3-methyl-[1,2,4]thiadiazol-5-ylmethylsulfonylmethyl, 4-methyl-[1,2,5]thiadiazol-3-ylmethylsulfonylmethyl, thiophen-3-ylmethylsulfonylmethyl, tetrahydro-pyran-4-yloxymethyl, piperidin-1-ylcarbonyl, thiophene-2-sulfonylmethyl, 3-chloro-2-fluoro-benzylsulfonylmethyl, benzenesulfonylmethyl, benzylsulfonylmethyl, 2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl, 2-benzenesulfonyl-ethyl, 2-(pyridine-2-sulfonyl)-ethyl, 2-(pyridine-4-sulfonyl)-ethyl, 2-benzylsulfonyl-ethyl, oxy-pyridin-2-ylmethylsulfonylmethyl, prop-2-ene-1-sulfonylmethyl, 4-methoxy-benzylsulfonylmethyl, *p*-tolylmethylsulfonylmethyl, 4-chloro-benzylsulfonylmethyl, *o*-tolylmethylsulfonylmethyl, 3,5-dimethyl-benzylsulfonylmethyl, 4-trifluoromethyl-benzylsulfonylmethyl, 4-trifluoromethoxy-benzylsulfonylmethyl, 2-bromo-benzylsulfonylmethyl, pyridin-2-ylmethylsulfonylmethyl, pyridin-3-ylmethylsulfonylmethyl, pyridin-4-ylmethylsulfonylmethyl, naphthalen-2-ylmethylsulfonylmethyl, 3-methyl-benzylsulfonylmethyl, 3-trifluoromethyl-benzylsulfonylmethyl, 3-trifluoromethoxy-benzylsulfonylmethyl, 4-fluoro-2-trifluoromethoxy-benzylsulfonylmethyl, 2-fluoro-6-trifluoromethyl-benzylsulfonylmethyl, 3-chloro-benzylsulfonylmethyl, 2-fluoro-benzylsulfonylmethyl, 2-trifluoro-benzylsulfonylmethyl, 2-cyano-benzylsulfonylmethyl, 4-*tert*-butyl-benzylsulfonylmethyl,

2-fluoro-3-methyl-benzylsulfonylmethyl, 3-fluoro-benzylsulfonylmethyl, 4-fluoro-benzylsulfonylmethyl, 2-chloro-benzylsulfonylmethyl, 2,5-difluoro-benzylsulfonylmethyl, 2,6-difluoro-benzylsulfonylmethyl, 2,5-dichloro-benzylsulfonylmethyl, 3,4-dichloro-benzylsulfonylmethyl, 2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl, 2-cyano-benzylsulfonylmethyl, 3-cyano-benzylsulfonylmethyl, 2-trifluoromethoxy-benzylsulfonylmethyl, 2,3-difluoro-benzylsulfonylmethyl, 2,5-difluoro-benzylsulfonylmethyl, biphenyl-2-ylmethylsulfonylmethyl, cyclohexylmethyl, 3-fluoro-benzylsulfonylmethyl, 3,4-difluoro-benzylsulfonylmethyl, 2,4-difluoro-benzylsulfonylmethyl, 2,4,6-trifluoro-benzylsulfonylmethyl, 2,4,5-trifluoro-benzylsulfonylmethyl, 2,3,4-trifluoro-benzylsulfonylmethyl, 2,3,5-trifluoro-benzylsulfonylmethyl, 2,5,6-trifluoro-benzylsulfonylmethyl, 2-chloro-5-trifluoromethylbenzylsulfonylmethyl, 2-methyl-propane-1-sulfonyl, 2-fluoro-3-trifluoromethylbenzylsulfonylmethyl, 2-fluoro-4-trifluoromethylbenzylsulfonylmethyl, 2-fluoro-5-trifluoromethylbenzylsulfonylmethyl, 4-fluoro-3-trifluoromethylbenzylsulfonylmethyl, 2-methoxy-benzylsulfonylmethyl, 3,5-bis-trifluoromethyl-benzylsulfonylmethyl, 4-difluoromethoxy-benzylsulfonylmethyl, 2-difluoromethoxy-benzylsulfonylmethyl, 3-difluoromethoxy-benzylsulfonylmethyl, 2,6-dichloro-benzylsulfonylmethyl, biphenyl-4-ylmethylsulfonylmethyl, 3,5-dimethyl-isoxazol-4-ylmethylsulfonylmethyl, 5-chloro-thiophen-2-ylmethylsulfonylmethyl, 2-[4-(1,1-Difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-[2-(1,1-Difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-[3-(1,1-Difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-(4-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-(3-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-(2-trifluoromethoxy-benzenesulfonyl)-ethyl, (cyanomethyl-methyl-carbamoyl)-methyl, biphenyl-3-ylmethyl, 2-oxo-2-pyrrolidin-1-yl-ethyl, 2-benzenesulfonyl-ethyl, isobutylsulfanylmethyl, 2-phenylsulfanyl-ethyl, cyclohexylmethylsulfonylmethyl, 2-cyclohexyl-ethanesulfonyl, benzyl, naphthalen-2-yl, benzylsulfanylmethyl, 2-trifluoromethyl-benzylsulfanylmethyl, phenylsulfanyl-ethyl and cyclopropylmethylsulfonylmethyl;

~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected

derivatives, individual isomers and mixtures of isomers thereof.

5. (Currently Amended) A compound of claim 4 in which R⁴ is selected from 2-trifluorobenzylsulfonylmethyl, 3-phenylsulfanylpropyl, 4-chlorobenzylsulfonylmethyl, thiophen-2-ylsulfonylmethyl, benzylsulfonylmethyl, 4-methylbenzylsulfonylmethyl, 2-phenylsulfonylethyl, 2-pyridin-2-ylsulfonylethyl, 2-pyridin-4-ylsulfonylethyl, 2-benzylsulfonylethyl, 2-(3-difluoromethoxyphenylsulfonyl)ethyl, naphthalen-2-ylmethylsulfonylmethyl, pyridin-2-ylmethylsulfonylmethyl, 3-methylbenzylsulfonylmethyl, 3-trifluoromethylbenzylsulfonylmethyl, 3-difluoromethoxybenzylsulfonylmethyl, 3-chlorobenzylsulfonylmethyl, 3-fluorobenzylsulfonylmethyl, 4-fluorobenzylsulfonylmethyl, 3-cyanobenzylsulfonylmethyl, 4-cyanobenzylsulfonylmethyl, 3,4-difluorobenzylsulfonylmethyl, benzylsulfonylmethyl, *N*-cyanomethyl-*N*-methylcarbamoylmethyl, 3-bromobenzyl, 4-phenylbutyl, 2,2-difluoro-3-phenylpropyl, 4'-methylsulfonylaminobiphenyl-3-ylmethyl, 4'-ethoxycarbonylaminobiphenyl-3-ylmethyl, 4-methylpiperazin-1-ylcarbonylmethyl, 1-fluoro-2-(4-methylpiperazin-1-yl)-2-oxoethyl, 1-hydroxy-4-methylpiperazin-1-yl-2-oxoethyl, 1-hydroxy-2-morpholin-4-yl-2-oxoethyl, 1-hydroxy-2-oxo-2-pyrrolidin-1-yl-ethyl, 1-fluoro-2-oxo-2-pyrrolidin-1-yl-ethyl, 1-fluoro-2-isopropylamino-2-oxoethyl, 1-hydroxy-2-isopropylamino-2-oxoethyl, 1-fluoro-2-oxo-2-piperazin-1-ylethyl, thiophen-3-ylmethylsulfonylmethyl, 4-methyl-[1,2,5]thiadiazol-3-ylmethylsulfonylmethyl, 3-methoxy-5-methyl-isoxazol-4-ylmethylsulfonylmethyl, 2,4-dimethyl-thiazol-5-ylmethylsulfonylmethyl, 2-methyl-oxazol-4-ylmethylsulfonylmethyl, 2-methyl-thiazol-4-ylmethylsulfonylmethyl, 2-([1,2,3]thiadiazol-4-ylmethylsulfonyl)-ethyl, 2-(3-methyl-[1,2,4]thiadiazol-5-ylmethylsulfonyl)-ethyl, 2-oxo-2-phenyl-ethyl, 2-morpholin-4-yl-2-oxo-ethyl, 2-benzenesulfonyl-ethyl, 2-naphthalen-2-yl-2-oxo-ethyl, 2-benzo[1,3]dioxol-5-yl-2-oxo-ethyl, 2-benzo[*b*]thiophen-2-yl-2-oxo-ethyl, 2-biphenyl-4-yl-2-oxo-ethyl, 4-benzylsulfonylmethyl, 2-(3-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-oxo-2-(4-phenoxy-phenyl)-ethyl, 2-(4-hydroxy-phenyl)-2-oxo-ethyl, benzylcarbamoyl-methyl, 4-acetyl-piperazine-1-carboxylic acid ethyl ester, cyclohexylcarbamoylmethyl, 2-(3-Chloro-benzo[*b*]thiophen-2-yl)-2-oxo-ethyl, benzenesulfonylmethyl, 2-oxo-2-thiophen-2-yl-ethyl, 2-oxo-2-thiophen-3-yl-ethyl, naphthalene-2-sulfonylmethyl, 2-(5-methyl-thiophen-2-yl)-2-oxo-ethyl, 2-(3-chloro-thiophen-2-yl)-2-oxo-ethyl, 5-methyl-thiophene-2-sulfonylmethyl, phenylcarbamoylmethyl,

(5,6,7,8-tetrahydro-naphthalen-1-ylcarbamoyl)-methyl,
(4-carbamoyl-phenylcarbamoyl)-methyl, (3-carbamoyl-phenylcarbamoyl)-methyl,
(butyl-methyl-carbamoyl)-methyl, biphenyl-4-ylmethyl, 2-oxo-2-*p*-tolyl-ethyl,
2-(3-fluoro-4-methoxy-phenyl)-2-oxo-ethyl, 2-(4-chloro-phenyl)-2-oxo-ethyl,
2-(4-methoxy-phenyl)-2-oxo-ethyl, 2-oxo-2-(4-trifluoromethoxy-phenyl)-ethyl,
2-(3,4-difluoro-phenyl)-2-oxo-ethyl, 2-(3,4-dimethoxy-phenyl)-2-oxo-ethyl,
2-(4-fluoro-phenyl)-2-oxo-ethyl, 5-methyl-2-oxo-hexyl, 3,5-dimethyl-benzylsulfonylmethyl,
4-trifluoromethyl-benzylsulfonylmethyl; 4-trifluoromethoxy-benzylsulfonylmethyl,
isopropylcarbamoyl-methyl, 4-dimethylcarbamoylmethyl, pyridin-4-ylcarbamoylmethyl,
pyridin-4-ylmethylsulfonylmethyl, pyridin-3-ylmethylsulfonylmethyl,
3,4-dichloro-benzylsulfonylmethyl, pyridin-3-ylcarbamoylmethyl,
4-methoxy-benzylsulfonylmethyl, 4-chloro-benzylsulfonylmethyl,
thiophene-2-sulfonylmethyl, benzylsulfonylmethyl, *p*-tolylmethylsulfonylmethyl,
2-benzenesulfonyl-ethyl, 2-(pyridine-2-sulfonyl)-ethyl, 2-(pyridine-4-sulfonyl)-ethyl,
2-benzylsulfonyl-ethyl, 2-[3-(1,1-Difluoro-methoxy)-benzenesulfonyl]-ethyl,
naphthalen-2-ylmethylsulfonylmethyl, pyridin-2-ylmethylsulfonylmethyl,
m-tolylmethylsulfonylmethyl, 3-trifluoromethyl-benzylsulfonylmethyl,
3-trifluoromethoxy-benzylsulfonylmethyl, 3-chloro-benzylsulfonylmethyl,
3-fluoro-benzylsulfonylmethyl, 4-fluoro-benzylsulfonylmethyl,
3-cyano-benzylsulfonylmethyl, 4-cyano-benzylsulfonylmethyl,
3,4-difluoro-benzylsulfonylmethyl, (cyanomethyl-methyl-carbamoyl)-methyl,
3-bromo-benzyl, 2-oxo-2-pyrrolidin-1-yl-ethyl, 2-(4'-chloro-biphenyl-4-yl)-2-oxo-ethyl,
biphenyl-3-ylmethyl, 2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl,
2-(4-methylsulfonylamino-phenyl)-2-oxo-ethyl, 2-oxo-2-piperidin-1-yl-ethyl,
2-(4-methylsulfonyl-piperazin-1-yl)-2-oxo-ethyl, 2-trifluoromethyl-benzylsulfonylmethyl,
4-fluoro-3-trifluoromethyl-benzylsulfonylmethyl, 4-carboxy-benzylsulfonylmethyl,
3,5-bis-trifluoromethyl-benzylsulfonylmethyl,
4-(1,1-difluoro-methoxy)-benzylsulfonylmethyl,
3-(1,1-difluoro-methoxy)-benzylsulfonylmethyl,
5-chloro-thiophen-2-ylmethylsulfonylmethyl,
2-[4-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl,
2-(4-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-phenylsulfanylmethyl, benzylsulfanylmethyl,
2-trifluoromethyl-benzylsulfanylmethyl, 2-trifluoromethoxy-benzylsulfanylmethyl,
2-cyclohexyl-ethyl and isobutylsulfanylmethyl;

~~and or~~ the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and or~~ the pharmaceutically acceptable salts and solvates of such compounds ~~and or~~ the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

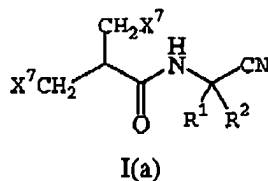
6. (Currently Amended) The compound of claim 5 in which R^1 is hydrogen or (C_{1-6}) alkyl and R^2 is hydrogen, $-X^4OR^{13}$, hetero (C_{5-10}) aryl (C_{0-6}) alkyl, (C_{5-10}) aryl (C_{0-6}) alkyl or (C_{1-6}) alkyl; or R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (C_{3-8}) cycloalkylene or hetero (C_{3-8}) cycloalkylene; wherein the cycloalkylene or heterocycloalkylene ~~are~~ is optionally substituted with 1 to 3 (C_{1-6}) alkyl radicals;

~~and or~~ the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and or~~ the pharmaceutically acceptable salts and solvates of such compounds ~~and or~~ the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

7. (Currently Amended) The compound of claim 6 in which R^1 is hydrogen or methyl and R^2 is methoxymethyl, methoxyethyl, methyl, ethyl, propyl, butyl, phenethyl, hiophen-2-yl or 5-methyl-furan-2-yl; or R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form cyclopropyl, tetrahydro-pyran-4-yl or 1-methyl-piperidin-4-yl;

~~and or~~ the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and or~~ the pharmaceutically acceptable salts and solvates of such compounds ~~and or~~ the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

8. (Currently Amended) The compound of claim 7 of Formula I(a):



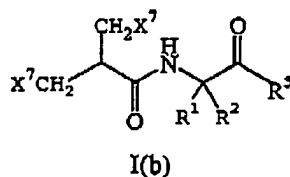
~~and or~~ the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers

and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

9. (Currently Amended) The compound of claim 8 selected from the group consisting of 3-biphenyl-3-yl-*N*-cyanomethyl-2-benzylsulfonylmethyl-propionamide; 3-biphenyl-4-yl-*N*-cyanomethyl-2-benzylsulfonylmethyl-propionamide; 3-(3-bromo-phenyl)-*N*-cyanomethyl-2-benzylsulfonylmethyl-propionamide; *N*-cyanomethyl-3-(3-cyano-benzylsulfonyl)-2-benzylsulfonyl-methyl-propionamide; *N*-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-3-benzylsulfonyl-propionamide; *N*-cyanomethyl-3-(2-trifluoromethyl-benzylsulfonyl)-2-(2-trifluoro-methyl-benzylsulfonylmethyl)-propionamide; *N*-cyanomethyl-3-isobutylsulfonyl-2-isobutylsulfonylmethyl-propionamide; *N*-cyanomethyl-4-phenylsulfonyl-2-(2-phenylsulfonyl-ethyl)-butyramide; *N*-cyanomethyl-3-[2-(1,1-difluoro-methoxy)-benzylsulfonyl]-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-propionamide; 3-benzylsulfonyl-2-benzylsulfonylmethyl-*N*-cyanomethyl-propionamide; *N*-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-3-benzylsulfonyl-propionamide; *N*-cyanomethyl-3-(2-trifluoromethyl-benzylsulfonyl)-2-(2-trifluoromethyl-benzylsulfonylmethyl)-propionamide; 4-benzenesulfonyl-2-(2-benzenesulfonyl-ethyl)-*N*-cyanomethyl-butylamide; *N*-cyanomethyl-3-[2-(1,1-difluoro-methoxy)-benzylsulfonyl]-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-propionamide; *N*-cyanomethyl-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide; *N*-cyanomethyl-3-(2-methyl-propane-1-sulfonyl)-2-(2-methyl-propane-1-sulfonylmethyl)-propionamide; *N*-cyanomethyl-3-(2-methyl-thiazol-4-ylmethylsulfonyl)-2-benzyl-sulfonylmethyl-propionamide; 3-biphenyl-3-yl-*N*-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-benzyl-sulfonylmethyl]-propionamide; (3'-(2-(cyanomethyl-carbamoyl)-3-[2-(1,1-difluoro-methoxy)-benzyl-sulfonyl]-propyl)-biphenyl-4-yl)-carbamic acid ethyl ester; *N*-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-3-(4'-methylsulfonylamino-biphenyl-3-yl)-propionamide; 3-(3-bromo-phenyl)-*N*-cyanomethyl-2-[2-(1,1-difluoro-methoxy)-phenyl-methylsulfonylmethyl]-propionamide; *N*-cyanomethyl-2-((*E*)-3-phenyl-allyl)-3-benzylsulfonyl-propionamide; and *N*-cyanomethyl-3-benzylsulfonyl-2-(3-phenyl-propyl)-propionamide;

~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

10. (Currently Amended) The compound of ~~Claim~~ claim 7 of Formula I(b):



~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

11. (Currently Amended) The compound of claim 10 in which R⁵ is
 1*H*-benzoimidazol-2-yl, benzooxazol-2-yl, oxazolo[4,5-*b*]pyridin-2-yl, benzothiazol-2-yl, 5-phenyl-[1,3,4]oxadiazol-2-yl, 4-(5-pyridin-4-yl-[1,3,4]oxadiazol-2-yl, 5-pyridin-3-yl-[1,3,4]oxadiazol-2-yl, 5-pyridazin-3-yl-[1,3,4]oxadiazol-2-yl, pyrimidin-2-yl, pyridazin-3-yl, 3-phenyl-[1,2,4]oxadiazol-5-yl, 5-methoxymethyl-[1,3,4]oxadiazol-2-yl, 5-ethyl-[1,3,4]oxadiazol-2-yl, 1,3,4]thiadiazol-2-yl, benzyloxycarbonyl, benzyloxydicarbonyl, phenyldicarbonyl, 5-methyl-[1,3,4]thiadiazol-2-yl, 5-trifluoromethyl-[1,3,4]oxadiazol-2-yl, 5-methyl-[1,3,4]oxadiazol-2-yl, 5-methyl-[1,2,4]oxadiazol-3-yl, 5-phenyl-[1,2,4]oxadiazol-3-yl, 5-thiophen-3-yl-[1,2,4]oxadiazol-3-yl, 5-trifluoromethyl-[1,2,4]oxadiazol-3-yl, 3-methyl-[1,2,4]oxadiazol-5-yl or 3-pyrazin-2-yl;

~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

12. (Currently Amended) The compound of claim 11 selected from the group consisting of *N*-[(*S*)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide; *N*-[(*S*)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-3-(2-trifluoromethyl-benzylsulfonyl)-2-(2-trifluoromethyl-benzylsulfonylmethyl)-propionamide; *N*-[(*S*)-1-(1-Benzooxazol-2-yl-methanoyl)-pentyl]-4-(2-methoxy-benzenesulfonyl)-2-[2-(2-methoxy-benzenesulfonyl)-ethyl]-butyramide; 4-Benzenesulfonyl-2-(2-benzenesulfonyl-

ethyl)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-butyramide; (*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-cyclohexylmethyl-3-benzylsulfonyl-propionamide; *N*-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butylamide; *N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-3-cyclohexyl-2-cyclohexylmethyl-propionamide; *N*-[(*S*)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-3-isobutylsulfanyl-2-isobutylsulfanylmethyl-propionamide; *N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-3-benzylsulfanyl-2-benzylsulfanylmethyl-propionamide; *N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-4-phenylsulfanyl-2-(2-phenylsulfanyl-ethyl)-butyramide; *N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butylamide; *N*-[(*S*)-1-(1-Benzooxazol-2-yl-methanoyl)-pentyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butylamide; 4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-{(*S*)-1-[1-(3-phenyl-[1,2,4]oxadiazol-5-yl)-methanoyl]-propyl}-butyramide; *N*-[(*S*)-1-(1-Benzooxazol-2-yl-methanoyl)-butyl]-2-[2-(1,1-difluoro-methoxy)-benzylsulfonylmethyl]-3-benzylsulfonyl-propionamide; 4-Morpholin-4-yl-4-oxo-*N*-[1-(2-oxo-2-phenyl-acetyl)-pentyl]-2-benzylsulfonylmethyl-butylamide; *N*-(1,1-Dimethyl-2-oxazolo[4,5-*b*]pyridin-2-yl-2-oxo-ethyl)-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butylamide; *N*-[1-(5-Ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butylamide; *N*-[1-(5-Ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-oxo-2-benzylsulfonyl-methyl-4-piperidin-1-yl-butylamide; *N*-[1-(5-Ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-oxo-2-benzylsulfonyl-methyl-4-pyrrolidin-1-yl-butylamide; *N*-[1-(5-Methoxymethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butylamide; *N*-[1-(5-Methoxymethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butylamide; *N*-[1-(5-Methoxymethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butylamide; 4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-butylamide; 4-Oxo-2-benzylsulfonylmethyl-*N*-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-piperidin-1-yl-butylamide; 4-Oxo-2-benzylsulfonylmethyl-*N*-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-pyrrolidin-1-yl-butylamide; 4-Morpholin-4-yl-*N*-[1-(oxazolo[4,5-*b*]pyridine-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-butylamide; *N*-[1-(Oxazolo[4,5-*b*]pyridine-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonyl-methyl-4-piperidin-1-yl-butylamide; *N*-[1-(Oxazolo[4,5-*b*]pyridine-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonyl-methyl-4-pyrrolidin-1-yl-butylamide; 4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-*N*-[1-(5-pyridin-4-yl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-butylamide; 4-Oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-*N*-[1-(5-

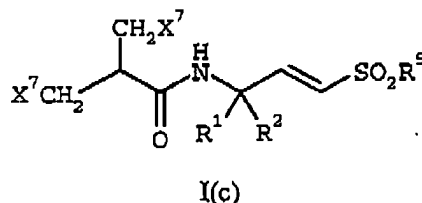
pyridin-4-yl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-butyramide; 4-Oxo-2-benzylsulfonylmethyl-N-[1-(5-pyridin-4-yl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-4-pyrrolidin-1-yl-butylamide; 4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-N-[1-(5-pyridin-3-yl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-butyramide; N-[1-(Benzooxazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-piperidin-1-yl-butylamide; N-[1-(Benzooxazole-2-carbonyl)-propyl]-4-oxo-2-benzylsulfonylmethyl-4-pyrrolidin-1-yl-butylamide; N-[1-(Benzooxazole-2-carbonyl)-propyl]-2-cyclohexylmethyl-4-morpholin-4-yl-4-oxo-butylamide; 2-Cyclohexylmethyl-4-morpholin-4-yl-N-[1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-oxo-butylamide; 2-Cyclohexylmethyl-N-[1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-morpholin-4-yl-4-oxo-butylamide; N-(2-Benzooxazol-2-yl-1-methoxymethyl-2-oxo-ethyl)-2-(2-difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-4-yl-4-oxo-butylamide; N-[1-(Benzooxazole-2-carbonyl)-propyl]-2-(2-cyclohexyl-ethyl)-4-morpholin-4-yl-4-oxo-butylamide; 2-(2-Cyclohexyl-ethyl)-4-morpholin-4-yl-N-[1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propyl]-4-oxo-butylamide; 2-(2-Cyclohexyl-ethyl)-4-morpholin-4-yl-4-oxo-N-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-butylamide; 2-(2-Difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-4-yl-4-oxo-N-[1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-butylamide; 2-(2-Difluoromethoxy-benzylsulfonylmethyl)-N-[1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-butyl]-4-morpholin-4-yl-4-oxo-butylamide; N-[1-(Benzooxazole-2-carbonyl)-propyl]-2-(2-difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-4-yl-4-oxo-butylamide;

2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid, 1-(benzooxazole-2-carbonyl)-propyl]-amide; (R)-2-Cyclohexylmethyl-4-morpholin-4-yl-4-oxo-N-[(S)-1-(5-phenyl-1,2,4-oxadiazole-3-carbonyl)-propyl]-butylamide; 2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid, (S)-1-(5-phenyl-[1,2,4]oxadiazole-3-carbonyl)-propyl]-amide; 4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-N-[(S)-1-(5-phenyl-1,2,4-oxadiazole-3-carbonyl)-propyl]-butylamide; (R)-2-Cyclohexylmethyl-4-morpholin-4-yl-4-oxo-N-[(S)-1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-butylamide; 4-Morpholin-4-yl-N-[1-(oxazole-2-carbonyl)-3-phenyl-propyl]-4-oxo-2-benzylsulfonylmethyl-butylamide; N-(1,1-Dimethyl-2-oxazol-2-yl-2-oxo-ethyl)-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butylamide; N-4-Isopropyl-N-1-[1-(oxazole-2-carbonyl)-3-phenyl-propyl]-2-benzylsulfonylmethyl-succinamide; 2-(2-Difluoromethoxy-benzylsulfonylmethyl)-4-morpholin-4-yl-N-[1-(oxazole-2-carbonyl)-3-phenyl-propyl]-4-oxo-butylamide; 2-(2-Methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-N-[1-(oxazole-2-carbonyl)-3-phenyl-propyl]-4-oxo-butylamide; 2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-N-[1-(oxazole-2-carbonyl)-3-phenyl-

propyl]-4-oxo-butyramide; *N*-[1-(Benzooxazole-2-carbonyl)-butyl]-2-benzylsulfonyl-3-(tetrahydro-pyran-4-yloxymethyl)-propionamide; *N*-[1-(Benzooxazole-2-carbonyl)-butyl]-3-ethanesulfonyl-2-(tetrahydro-pyran-4-yloxymethyl)-propionamide; *N*-(1-Benzenesulfonyl-3-oxo-azepan-4-yl)-2-cyclopropylmethylsulfonyl-methyl-4-morpholin-4-yl-4-oxo-butyramide; 2-Cyclopropylmethylsulfonylmethyl-*N*-{(S)-1-[(R)-hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propyl}-4-morpholin-4-yl-4-oxo-butyramide; *N*-{(S)-1-[(R)-hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propyl}-2-(2-methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyramide; 2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid {(S)-1-[(R)-hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propyl}-amide; 2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-*N*-[(S)-1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-butyramide; 2-(2-methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-*N*-[(S)-1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-butyramide; 2-(2-Morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid, (S)-1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl}-amide; *N*-[(1S)-1-(Benzooxazol-2-yl-hydroxy-methyl)-3-phenyl-propyl]-2-cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyramide; (R)-2-((S)-1-Hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-5-phenyl-pentanoic acid, 1-(benzoxazole-2-carbonyl)-propyl}-amide; (R)-5-(2-Difluoromethoxy-phenyl)-2-((S)-1-hydroxy-2-morpholin-4-yl-2-oxo-ethyl)-pentanoic acid, 1-(benzoxazole-2-carbonyl)-propyl}-amide; and 4-Morpholin-4-yl-*N*-[1-(oxazole-2-carbonyl)-cyclopropyl]-4-oxo-2-benzylsulfonyl methyl-butylamide;

~~and~~ or the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

13. (Currently Amended) The compound of claim 7 of Formula I(c):



and/or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers

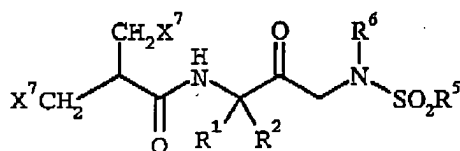
and mixtures of isomers thereof; ~~and or~~ the pharmaceutically acceptable salts and solvates of such compounds ~~and or~~ the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

14. (Currently Amended) The compound of claim 13 in which R⁵ is phenyl;
~~and or~~ the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and or~~ the pharmaceutically acceptable salts and solvates of such compounds ~~and or~~ the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

15. (Currently Amended) The compound of claim 14 selected from the group consisting of N-[(S)-1-((E)-2-benzenesulfonyl-vinyl)-pentyl]-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide and N-(3-benzenesulfonyl-1-phenethyl-allyl)-3-benzylsulfonyl-2-benzylsulfonylmethyl-propionamide;

~~and or~~ the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and or~~ the pharmaceutically acceptable salts and solvates of such compounds ~~and or~~ the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

16. (Currently Amended) The compound of claim 7 of Formula I(d):



I(d)

~~and or~~ the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and or~~ the pharmaceutically acceptable salts and solvates of such compounds ~~and or~~ the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

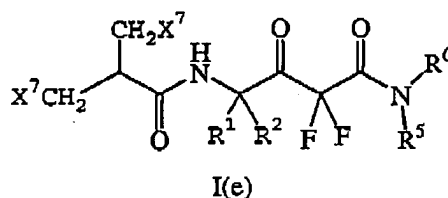
17. (Currently Amended) The compound of claim 16 in which R⁵ is phenyl and R⁶ is hydrogen;

~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

18. (Currently Amended) The compound of claim 17 namely *N*-(3-benzenesulfonylamino-2-oxo-propyl)-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;

~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

19. (Currently Amended) The compound of claim 7 of Formula I(e):



~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

20. (Currently Amended) The compound of claim 19 in which R⁵ and R⁶ is methyl;

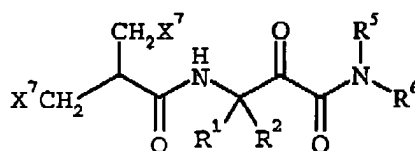
~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

21. (Currently Amended) The compound of claim 20 in which one X⁷ is morpholine-4-carbonyl and the other is benzylsulfonyl, R¹ is hydrogen and R² is ethyl, namely (S)-2,2-

difluoro-4-(4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butanoylamino)-3-oxo-hexanoic acid dimethylamide;

~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

22. (Currently Amended) The compound of claim 7 of Formula I(f):



I(f)

~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

23. (Currently Amended) The compound of claim 22 in which R⁵ is methyl, benzyl, phenethyl, cyclohexyl, methoxyethyl, dimethylaminoethyl, tetrahydro-pyran-4-yl, 1-methylsulfonyl-piperidin-4-yl, 4-methyl-piperazin-1-yl, morpholin-4-ylethyl, pyridin-2-yl, pyridin-2-ylmethyl or oxazol-2-ylmethyl; R⁶ is hydrogen or methyl; or R⁵ and R⁶ together with the nitrogen atom to which both R⁵ and R⁶ are attached form morpholine-4-yl, pyrrolidin-1-yl, 4-dimethylamino-piperazin-1-yl, 4-hydroxy-piperazin-1-yl, 4-pyridin-2-yl-piperazin-1-yl, 4-benzoyl-piperazin-1-yl or 3-oxo-piperazin-1-yl;

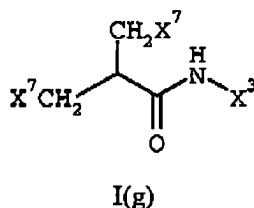
~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

24. (Currently Amended) The compound of claim 23 selected from the group consisting of *N*-[(*S*)-1-(1-Benzylcarbamoyl-methanoyl)-propyl]-3-benzylsulfonyl-2-

benzylsulfonylmethyl-propionamide and *N*-[(*S*)-1-(1-Benzylcarbamoyl-methanoyl)-propyl]-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide;

~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

25. (Currently Amended) The compound of claim 7 of Formula I(g):



~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

26. (Currently Amended) The compound of claim 25 in which X^3 is 1-benzoyl-4-oxo-pyrrolidin-3-yl, 4-oxo-pyrrolidin-3-yl-1-carboxylic acid tert-butyl ester, 2-methyl-4-oxo-tetrahydro-furan-3-yl, 2-ethyl-4-oxo-tetrahydro-furan-3-yl, 4-oxo-tetrahydro-furan-3-yl, 2-acetoxy-4-oxo-azetidin-3-yl, 1-isopropyl-3-oxo-azepan-4-yl, 3-oxo-azepan-4-yl-1-carboxylic acid benzyl ester, 3-oxo-azepan-4-yl-1-carboxylic acid tert-butyl ester, 1-benzoyl-3-oxo-azepan-4-yl, 1-isobutyryl-3-oxo-azepan-4-yl, 3-oxo-1-(propane-2-sulfonyl)-azepan-4-yl, 1-benzenesulfonyl-3-oxo-azepan-4-yl, 1-benzenesulfonyl-3-oxo-piperidin-4-yl, 1-benzenesulfonyl-4-oxo-pyrrolidin-3-yl, 1-benzoyl-3-oxo-piperidin-4-yl or 3-oxo-tetrahydro-pyran-4-yl;

~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

27. (Currently Amended) The compound of claim 23 selected from the group consisting of 3-Hydroxy-4-(4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-azepane-1-carboxylic acid tert-butyl ester; 4-(2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyrylamino)-3-hydroxy-azepane-1-carboxylic acid tert-butyl ester; 3-Hydroxy-4-[2-(2-methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyrylamino]-azepane-1-carboxylic acid tert-butyl ester; 4-(4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-3-oxo-azepane-1-carboxylic acid tert-butyl ester; 4-(2-Cyclopropylmethylsulfonylmethyl-4-morpholin-4-yl-4-oxo-butyrylamino)-3-oxo-azepane-1-carboxylic acid tert-butyl ester; 4-[2-(2-Methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyrylamino]-3-oxo-azepane-1-carboxylic acid tert-butyl ester; *N*-(1-Benzenesulfonyl-3-oxo-azepan-4-yl)-4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyramide; *N*-(1-Benzenesulfonyl-3-oxo-azepan-4-yl)-2-(2-methyl-propane-1-sulfonylmethyl)-4-morpholin-4-yl-4-oxo-butyramide; 3-(4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-4-oxo-pyrrolidine-1-carboxylic acid tert-butyl ester; 4-(4-Morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butyrylamino)-3-oxo-azepane-1-carboxylic acid benzyl ester; and acetic acid (2*S*,3*S*)-3-(4-morpholin-4-yl-4-oxo-2-benzylsulfonylmethyl-butanoylamino)-4-oxo-azetidin-2-yl ester;

and or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; ~~and~~ or the pharmaceutically acceptable salts and solvates of such compounds ~~and~~ or the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

28. (Currently Amended) A pharmaceutical composition comprising a therapeutically effective amount of a compound of ~~Claim~~ claim 1 in combination with a pharmaceutically acceptable excipient.

29. (Previously Withdrawn) A method for treating a disease in an animal in which inhibition of Cathepsin S can prevent, inhibit or ameliorate the pathology and/or symptomology of the disease, which method comprises administering to the animal a therapeutically effective amount of compound of Claim 1 or a *N*-oxide derivative or individual isomer or mixture of isomers thereof; or a pharmaceutically acceptable salt or solvate of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

30. (Canceled).

31. (Canceled).